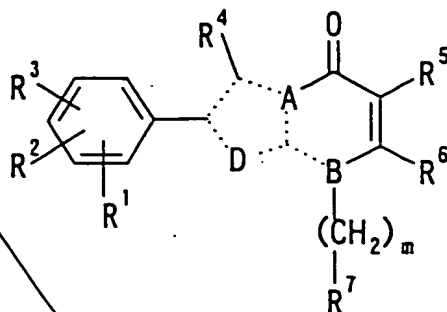


CLAIMS

1. A compound of the formula (I):



wherein one of A and B represents a nitrogen atom and the other represents a carbon atom, or both represent a nitrogen atom;

B represents a nitrogen atom or a carbon atom;

m represents an integer from 0 to 3;

R¹, R² and R³ each represents (i) hydrogen or (ii) a

group bound via a carbon atom, a nitrogen atom, an oxygen atom or a sulfur atom;

R⁴ represents a group bound via a carbon atom;

R⁵ represents (i) hydrogen, (ii) halogen or (iii) a group bound via a carbon atom or an oxygen atom;

R⁶ represents hydrogen or a group bound via a carbon atom;

R⁷ represents a homocyclic group which may be substituted or a heterocyclic group which may be substituted; and each dotted line represents a single bond or a double

bond, or a salt thereof.

2. A compound of claim 1 or a salt thereof,

wherein

R¹, R² and R³ each is (1) hydrogen,

(2) a hydrocarbon group which may be substituted,

(3) an acyl group which may be substituted,

2014-2229-01102

Su A2

C' cont

(4) a heterocyclic group having a bond in a carbon atom thereof which may be substituted,

(5) a group of the formula: $-\text{COOR}^{21}$ wherein R^{21} is hydrogen, a hydrocarbon group which may be substituted or a heterocyclic group which may be substituted,

(6) a group of the formula: $-\text{CO-NR}^{15}\text{R}^{16}$ wherein R^{15} is hydrogen, a hydrocarbon group which may be substituted or a C_{1-10} alkoxy group; and R^{16} is hydrogen or a hydrocarbon group which may be substituted; or R^{15} and R^{16} form, taken together with the adjacent nitrogen atom, a cyclic amino group which may be substituted,

(7) a cyano group,

(8) a nitro group,

(9) a group of the formula: $-\text{NR}^8\text{R}^9$ wherein R^8 is (i) hydrogen, (ii) a hydrocarbon group which may be substituted, (iii) an acyl group which may be substituted, (iv) a group of the formula: $-\text{O-R}^{13}$ wherein R^{13} is hydrogen, a C_{1-10} hydrocarbon group which may be substituted, a C_{1-20} acyl group which may be substituted, a C_{1-20}

alkylsulfonyl group which may be substituted, a C_{6-14} arylsulfonyl group which may be substituted

or a heterocyclic group which may be substituted,

(v) a heterocyclic group which may be substituted or (vi) a group of the formula: $-\text{S(O)}_t-\text{R}^{12}$

wherein t is an integer from 0 to 2, and R^{12} is hydrogen or a C_{1-10} hydrocarbon group which may

be substituted;

2017101622400T

C1
cont

C. Cont

R⁹ is hydrogen, a hydrocarbon group which may be substituted or an acyl group which may be substituted; or

R⁸ and R⁹ form, taken together with the adjacent nitrogen atom, a cyclic amino group which may be substituted,

(10) a group of the formula: -O-R¹³ wherein R¹³ is as defined above, or

(11) a group of the formula: -S(O)t-R¹⁴ wherein t is an integer from 0 to 2, and R¹⁴ is hydrogen, a hydrocarbon group which may be substituted or a heterocyclic group which may be substituted;

R⁴ is (1) a hydrocarbon group which may be substituted,

(2) an acyl group which may be substituted,

(3) a heterocyclic group having a bond in a carbon atom thereof which may be substituted,

(4) a group of the formula: -COOR²¹ wherein R²¹ is as defined above,

(5) a group of the formula: -CO-NR¹⁵R¹⁶ wherein each symbol is as defined above, or

(6) a cyano group;

R⁵ is (1) hydrogen,

(2) halogen,

(3) a hydrocarbon group which may be substituted,

(4) an acyl group which may be substituted,

(5) a heterocyclic group having a bond in a carbon atom thereof which may be substituted,

(6) a group of the formula: -COOR²¹ wherein R²¹ is as defined above,

(7) a group of the formula: $-\text{CO}-\text{NR}^{15}\text{R}^{16}$ wherein each symbol is as defined above,

(8) a cyano group, or

(9) a group of the formula: $-\text{O}-\text{R}^{13}$ wherein R^{13} is as defined above;

R^6 is (1) hydrogen,

(2) a hydrocarbon group which may be substituted,

(3) an acyl group which may be substituted,

(4) a heterocyclic group having a bond in a

carbon atom thereof which may be substituted,

(5) a group of the formula: $-\text{COOR}^{21}$ wherein R^{21} is as defined above,

(6) a group of the formula: $-\text{CO}-\text{NR}^{15}\text{R}^{16}$ wherein each symbol is as defined above, or

(7) a cyano group;

R^7 is (1) a C_{6-10} aryl or C_{3-7} cycloalkyl group, each of which may be substituted by 1 to 6

substituents selected from the group consisting of (1) C_{1-15} alkyl which may be substituted by 1

to 3 halogen, (2) C_{3-10} cycloalkyl, (3) C_{2-10}

alkenyl, (4) C_{2-10} alkynyl, (5) C_{3-10}

cycloalkenyl, (6) C_{6-10} aryl, (7) C_{7-20} aralkyl,

(8) nitro, (9) hydroxy, (10) mercapto, (11) oxo,

(12) thioxo, (13) cyano, (14) carbamoyl, (15)

carboxyl, (16) C_{1-6} alkoxy-carbonyl, (17) sulfo,

(18) halogen, (19) C_{1-6} alkoxy, (20) C_{6-10}

aryloxy, (21) C_{1-6} alkanoyloxy, (22) C_{1-6}

alkylthio, (23) C_{6-10} arylthio, (24) C_{1-6}

alkylsulfinyl, (25) C_{6-10} arylsulfinyl, (26) C_{1-6}

alkylsulfonyl, (27) C_{6-10} arylsulfonyl, (28)

20110101 011101

C1
cont

C'
cont

5 amino, (29) C₁₋₆ alkanoylamino, (30) mono- or di-C₁₋₄ alkylamino, (31) C₃₋₈ cycloalkylamino, (32) C₆₋₁₀ arylamino, (33) C₁₋₆ alkanoyl, (34) C₆₋₁₀ aryl-carbonyl and (35) 5- to 6-membered heterocyclic group, or

(ii) a heterocyclic group which may be substituted,

10 in which "hydrocarbon group" is a C₁₋₂₀ hydrocarbon group selected from C₁₋₁₅ alkyl, C₃₋₁₀ cycloalkyl, C₂₋₁₀ alkenyl, C₂₋₁₀ alkynyl, C₃₋₁₀ cycloalkenyl, C₆₋₁₄ aryl and C₇₋₂₀ aralkyl;

15 "C₁₋₁₀ hydrocarbon group" is a C₁₋₁₀ alkyl, C₃₋₁₀ cycloalkyl, C₂₋₁₀ alkenyl, C₂₋₁₀ alkynyl, C₃₋₁₀ cycloalkenyl, C₆₋₁₀ aryl or phenyl-C₁₋₄ alkyl group;

20 "acyl group" and "C₁₋₂₀ acyl group" each is formyl, C₁₋₆ alkyl-carbonyl, C₁₋₆ alkoxy-carbonyl, C₆₋₁₄ aryl-carbonyl, C₆₋₁₄ aryloxy-carbonyl, C₆₋₁₄ aryl-C₁₋₆ alkyl-carbonyl, C₆₋₁₄ aryl-C₁₋₆ alkoxy-carbonyl, C₂₋₄ alkenyl-carbonyl, C₃₋₆ cycloalkyl-carbonyl or tricyclic bridged C₉₋₁₀ hydrocarbon-carbonyl;

25 "heterocyclic group" is (1) a 5- to 8-membered heterocyclic group containing 1 to 4 hetero atoms selected from oxygen atoms, sulfur atoms, nitrogen atoms in addition to carbon atoms, (2) a bi- or tri-cyclic condensed heterocyclic group resulting from condensation of 2 or 3 of the above (1) heterocyclic group, whether
30 identical or not, or (3) a bi- or tri-cyclic

10042229 011102

condensed heterocyclic group resulting from condensation of the above (1) heterocyclic group and 1 or 2 benzene rings;

C1
cont
5 "cyclic amino group" is a 5- to 7-membered cyclic amino group optionally containing 1 to 3 hetero atoms selected from oxygen atoms, sulfur atoms, nitrogen atoms in addition to carbon atoms and a nitrogen atom;

10 "substituent(s)" for the "hydrocarbon group which may be substituted", the "C₁₋₁₀ hydrocarbon group which may be substituted", the "acyl group which may be substituted", "C₁₋₂₀ acyl group which may be substituted", the "C₁₋₂₀ alkylsulfonyl group which may be substituted" or
15 the "C₆₋₁₄ arylsulfonyl group which may be substituted" is selected from 1 to 6 of (1) halogen, (2) nitro, (3) nitroso, (4) cyano, (5)(i) C₁₋₆ alkyl which may be substituted by 1 to 3 substituents selected from the group
20 consisting of hydroxy, C₁₋₆ alkoxy, C₁₋₃ alkoxy-C₁₋₃ alkoxy, C₁₋₃ alkylthio, hydroxy-C₁₋₃ alkoxy, C₁₋₆ alkyl-carbonyl, carboxy, carbamoyl, C₁₋₆ alkyl-carbamoyl, 5- to 8-membered heterocyclic group and halogen, (ii) C₁₋₄ alkanoyl or C₂₋₄
25 alkenoyl, (iii) C₆₋₁₄ aryl-C₁₋₆ alkyl which may be substituted by 1 to 3 substituents selected from the group consisting of halogen, C₁₋₃ alkoxy and C₁₋₄ alkyl, (iv) C₆₋₁₄ aryl which may be substituted by 1 to 3 halogen, (v) C₂₋₆ alkenyl,
30 (vi) C₃₋₇ cycloalkyl, (vii) C₁₋₃ alkoxy-carbonyl,

(viii) mono- or di-C₁₋₆ alkyl amino, (ix) C₂₋₆ alkenyl amino, (x) C₁₋₃ alkoxy-carbonyl, (xi) formyl or C₁₋₆ alkyl-carbonyl, or (xii) hydroxy which may be substituted by C₃₋₆ cycloalkyloxy-carbonyl, (6) a group of the formula: -S(O)_t-R¹⁷ wherein t is an integer from 0 to 2, and R¹⁷ is (i) hydrogen or (ii) a C₁₋₆ alkyl, C₆₋₁₄ aryl or C₇₋₂₀ aralkyl group which may be substituted by 1 to 3 substituents selected from the group consisting of halogen, nitro, cyano, hydroxy, oxo, thioxo, carboxy, cyano-C₆₋₁₄ aryl and halogeno-C₆₋₁₄ aryl, (7) a group of the formula: -NR¹⁸R¹⁹ wherein R¹⁸ and R¹⁹ each is hydrogen, C₁₋₆ alkyl, C₁₋₆ alkylamino-C₁₋₆ alkyl, C₁₋₆ alkoxy, C₂₋₆ alkenyl, C₃₋₇ cycloalkyl, phenyl, phenyl-C₁₋₆ alkyl, C₁₋₆ alkanoyl, C₃₋₆ alkenoyl, C₄₋₇ cycloalkyl-carbonyl, phenyl-C₁₋₆ alkyl-carbonyl, C₁₋₆ alkoxy-carbonyl, phenyl-C₁₋₆ alkoxy-carbonyl or 5- to 8-membered heterocyclic group, (8) a group of the formula: -CO-R²⁰ wherein R²⁰ is (i) hydrogen, (ii) hydroxy, (iii) C₁₋₁₀ alkyl or (iv) C₁₋₆ alkoxy which may be substituted by C₆₋₁₄ aryl which may be substituted by 1 to 3 substituents selected from the group consisting of halogen and nitro, (v) C₃₋₆ cycloalkyl, (vi) C₆₋₁₄ aryl, (vii) C₆₋₁₄ aryloxy, (viii) C₇₋₂₀ aralkyl, (ix) a group of the formula: -NR¹⁰R¹¹ wherein R¹⁰ is hydrogen, a C₁₋₁₀ hydrocarbon group which may be substituted, a C₁₋₂₀ acyl group which may be substituted, a group of the

10042229-011102

C
5

formula: $-O-R^{13}$ wherein R^{13} is as defined above, a heterocyclic group which may be substituted or a group of the formula: $-S(O)t-R^{12}$ wherein each symbol is as defined above; and R^{11} is hydrogen or a C_{1-10} hydrocarbon group; or R^{10} and R^{11} form, taken together with the adjacent nitrogen atom, a cyclic amino group which may be substituted, or (x) 5- to 8-membered heterocyclic group, (9) 5- to 8-membered heterocyclic group which may be substituted by 1 to 3 substituents selected from the group consisting of hydroxy, amino, mono- or di- C_{1-4} alkylamino, C_{1-4} alkoxy, halogen, nitro and C_{1-6} alkyl, (10) sulfo, (11) C_{6-14} aryl which may be substituted by 1 to 3 substituents selected from the group consisting of hydroxy, amino, mono- or di- C_{1-4} alkylamino, C_{1-4} alkoxy, halogen, nitro and C_{1-6} alkyl, (12) C_{3-7} cycloalkyl which may be substituted by 1 to 3 substituents selected from the group consisting of hydroxy, amino, mono- or di- C_{1-4} alkylamino, C_{1-4} alkoxy, halogen, nitro and C_{1-6} alkyl, (13) C_{1-6} alkylenedioxy, (14) oxo, (15) thioxo, (16) C_{2-4} alkynyl which may be substituted by 1 to 3 substituents selected from the group consisting of hydroxy, amino, mono- or di- C_{1-4} alkylamino, C_{1-4} alkoxy, halogen, nitro and C_{1-6} alkyl, (17) C_{3-10} cycloalkyl which may be substituted by 1 to 3 substituents selected from the group consisting of hydroxy, amino, mono- or di- C_{1-4} alkylamino, C_{1-4} alkoxy, halogen, nitro and C_{1-6} alkyl, (18)

10042229-01102

C1
Cont 5

C. Cont

C₂₋₁₀ alkenyl which may be substituted by 1 to 3 substituents selected from the group consisting of hydroxy, amino, mono- or di-C₁₋₄ alkylamino, C₁₋₄ alkoxy, halogen, nitro and C₁₋₆ alkyl, (19) C₇₋₂₀ aralkyl which may be substituted by 1 to 3 substituents selected from the group consisting of hydroxy, amino, mono- or di-C₁₋₄ alkylamino, C₁₋₄ alkoxy, halogen, nitro and C₁₋₆ alkyl, (20) amidino and (21) azido;

- 10 "substituent(s)" for the "heterocyclic group which may be substituted" or the "heterocyclic group having a bond in a carbon atom thereof which may be substituted" is selected from 1 to 6 of (1) C₁₋₆ alkyl, (2) C₂₋₆ alkenyl, (3) C₂₋₆
- 15 alkynyl, (4) C₃₋₆ cycloalkyl, (5) C₅₋₇ cycloalkenyl, (6) C₆₋₁₀ aryl-C₁₋₅ alkyl, (7) C₆₋₁₄ aryl, (8) C₁₋₆ alkoxy, (9) C₆₋₁₄ aryloxy, (10) C₁₋₆ alkanoyl, (11) C₆₋₁₄ aryl-carbonyl, (12) C₁₋₆ alkanoyloxy, (13) C₆₋₁₄ aryl-carbonyloxy, (14)
- 20 carboxyl, (15) C₁₋₆ alkoxy-carbonyl, (16) carbamoyl, (17) N-mono-C₁₋₄ alkylcarbamoyl, (18) N,N-di-C₁₋₄ alkylcarbamoyl, (19) 3- to 6-membered cyclic aminocarbonyl, (20) halogen, (21) mono-, di- or tri-halogeno-C₁₋₄ alkyl, (22) oxo, (23)
- 25 amidino, (24) imino, (25) amino, (26) mono- or di-C₁₋₄ alkylamino, (27) 3- to 6-membered cyclic amino, (28) C₁₋₆ alkanoylamino, (29) benzamido, (30) carbamoylamino, (31) N-C₁₋₄ alkylcarbamoylamino, (32) N,N-di-C₁₋₄
- 30 alkylcarbamoylamino, (33) C₁₋₃ alkylenedioxy,

10042229.011102

(34) $-B(OH)_2$, (35) hydroxy, (36) epoxy, (37) nitro, (38) cyano, (39) mercapto, (40) sulfo, (41) sulfinio, (42) phosphono, (43) sulfamoyl, (44) C_{1-6} alkylsulfamoyl, (45) di- C_{1-6} alkylsulfamoyl, (46) C_{1-6} alkylthio, (47) phenylthio, (48) C_{1-6} alkylsulfinyl, (49) phenylsulfinyl, (50) C_{1-6} alkylsulfonyl and (51) phenylsulfonyl; and

10 "substituent(s)" for the "cyclic amino group which may be substituted" is selected from 1 to 3 of C_{1-6} alkyl, C_{6-14} aryl, phenyl- C_{1-4} alkyl, benzhydryl, C_{1-6} alkyl-carbonyl, C_{6-14} aryl-carbonyl and C_{1-6} alkoxy-carbonyl.

3. A compound of claim 1 or a salt thereof, wherein A is a nitrogen atom.

15 4. A compound of claim 1 or a salt thereof, wherein B is a nitrogen atom.

5. A compound of claim 1 or a salt thereof, wherein D is a nitrogen atom.

6. A compound of claim 1 or a salt thereof, wherein m is 1.

20 7. A compound of claim 1 or a salt thereof, wherein R^1 is (1) a C_{1-15} alkyl group which may be substituted, (2) a C_{3-10} cycloalkyl group which may be substituted, (3) a C_{2-10} alkenyl group which may be substituted, (4) a C_{2-10} alkynyl group which may be substituted, (5) a C_{3-10} cycloalkenyl group which may be substituted, (6) a C_{6-14} aryl group which may be substituted, (7) a C_{7-20} aralkyl group which may be substituted, (8) a C_{1-20} acyl group which may be substituted, (9) a nitro group, (10) a group of the

25

30

10042229.01102

C1
cont

20110101 011100T

formula: $-NR^{10}R^{11}$ wherein R^{10} is hydrogen, a C_{1-10} hydrocarbon group which may be substituted, a C_{1-20} acyl group which may be substituted, a hydroxy group which may be substituted, a heterocyclic group which may be substituted or a group of the formula: $-S(O)_t-R^{12}$ wherein t is an integer from 0 to 2, and R^{12} is hydrogen or a C_{1-10} hydrocarbon group which may be substituted; R^{11} is hydrogen or a C_{1-10} hydrocarbon group; or R^{10} and R^{11} form, taken together with the adjacent nitrogen atom, a cyclic amino group which may be substituted, or (11) a group of the formula: $-O-R^{13}$ wherein R^{13} is hydrogen, a C_{1-10} hydrocarbon group which may be substituted, a C_{1-20} acyl group which may be substituted, a C_{1-20} alkylsulfonyl group which may be substituted, a C_{6-14} arylsulfonyl group which may be substituted, or a heterocyclic group which may be substituted; and R^2 and R^3 each is hydrogen.

8. A compound of claim 1 or a salt thereof, wherein R^2 and R^3 each is hydrogen.

9. A compound of claim 8 or a salt thereof, wherein the position of R^1 is para-position.

10. A compound of claim 1 or a salt thereof, wherein R^1 is (1) an amino group which may be substituted by (i) carbamoyl which may be substituted by C_{1-6} alkyl or C_{1-6} alkoxy, or (ii) C_{1-6} alkyl-carbonyl, or (2) a C_{1-6} alkoxy group which may be substituted by C_{3-6} cycloalkyl.

11. A compound of claim 1 or a salt thereof, wherein R^4 is a C_{1-15} alkyl group which may be substituted, a C_{3-10} cycloalkyl group which may be

C1
cont⁵

substituted, a C₂₋₁₀ alkenyl group which may be substituted, a C₂₋₁₀ alkynyl group which may be substituted, a C₃₋₁₀ cycloalkenyl group which may be substituted, a C₆₋₁₄ aryl group which may be substituted or a C₇₋₂₀ alkyl group which may be substituted.

12. A compound of claim 1 or a salt thereof, wherein R⁴ is a C₁₋₆ alkyl group which may be substituted.

10 13. A compound of claim 1 or a salt thereof, wherein R⁴ is a C₁₋₆ alkyl group which may be substituted by halogen, hydroxy which may be substituted or amino which may be substituted.

15 14. A compound of claim 1 or a salt thereof, wherein R⁴ is a group of the formula: $-(CH_2)_n-NR^{10}R^{11}$ wherein n is an integer from 1 to 3; R¹⁰ is hydrogen, a C₁₋₁₀ hydrocarbon group which may be substituted, a C₁₋₂₀ acyl group which may be substituted, a hydroxy group which may be substituted, a heterocyclic group which may be substituted, or a group of the formula: $-S(O)_t-R^{12}$ wherein t is an integer from 0 to 2, and R¹² is hydrogen or a C₁₋₁₀ hydrocarbon group which may be substituted; 20 and R¹¹ is hydrogen or a C₁₋₁₀ hydrocarbon group; or R¹⁰ and R¹¹ form, taken together with the adjacent nitrogen atom, a cyclic amino group which may be substituted.

25 15. A compound of claim 1 or a salt thereof, wherein R⁴ is a N-C₁₋₆ alkyl-N-benzylaminomethyl group.

30 16. A compound of claim 1 or a salt thereof, wherein R⁵ is hydrogen, halogen, a C₁₋₁₅ alkyl group which may be substituted, a C₃₋₁₀ cycloalkyl group which may be substituted, a C₂₋₁₀ alkenyl group which may be substituted, a C₂₋₁₀ alkynyl group which may be

C¹
cont 5
substituted, a C₃₋₁₀ cycloalkenyl group which may be substituted, a C₆₋₁₄ aryl group which may be substituted, a C₇₋₂₀ aralkyl group which may be substituted, a C₁₋₂₀ acyl group which may be substituted, a carboxy group which may be esterified or amidated, or a group of the formula: -O-R¹³ wherein R¹³ is hydrogen or a C₁₋₁₅ alkyl group which may be substituted, a C₃₋₁₀ cycloalkyl group which may be substituted, a C₂₋₁₀ alkenyl group which may be substituted, a C₂₋₁₀ alkynyl group which may be substituted, a C₃₋₁₀ cycloalkenyl group which may be substituted, a C₆₋₁₄ aryl group which may be substituted, a C₇₋₂₀ aralkyl group which may be substituted, a C₁₋₂₀ acyl group which may be substituted, a C₁₋₂₀ alkylsulfonyl group which may be substituted, a C₆₋₁₄ arylsulfonyl group which may be substituted or a heterocyclic group which may be substituted.

17. A compound of claim 1 or a salt thereof, wherein R⁵ is (1) a C₁₋₆ alkoxy-carbonyl group, (2) a C₆₋₁₀ aryl group which may be substituted by halogen or C₁₋₆ alkoxy, or (3) a phenyl-C₁₋₃ alkyl group.

18. A compound of claim 1 or a salt thereof, wherein R⁶ is hydrogen, a C₁₋₁₅ alkyl group which may be substituted, a C₃₋₁₀ cycloalkyl group which may be substituted, a C₂₋₁₀ alkenyl group which may be substituted, a C₂₋₁₀ alkynyl group which may be substituted, a C₃₋₁₀ cycloalkenyl group which may be substituted, a C₆₋₁₄ aryl group which may be substituted or a C₇₋₂₀ aralkyl group which may be substituted.

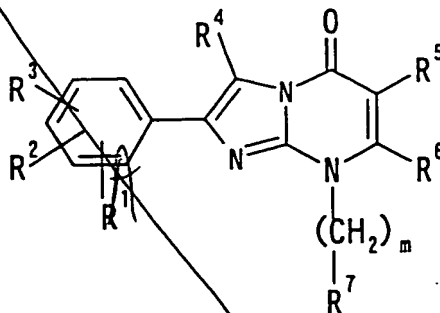
19. A compound of claim 1 or a salt thereof, wherein R⁶ is hydrogen or a C₁₋₆ alkyl group.

20. A compound of claim 1 or a salt thereof, wherein R^7 is a C_{6-14} aryl group which may be substituted.

21. A compound of claim 1 or a salt thereof, wherein R^7 is a phenyl group which may be substituted by halogen(s).

22. A compound of claim 1 or a salt thereof, wherein one of A and D represents a nitrogen atom and the other represents a carbon atom, or both represent a nitrogen atom; B represents a nitrogen atom or a carbon atom; m represents an integer from 0 to 3; R^1 , R^2 and R^3 each represents (i) hydrogen or (ii) a group bound via a carbon atom, a nitrogen atom, an oxygen atom or a sulfur atom; R^4 represents a group bound via a carbon atom; R^5 represents hydrogen or a group bound via a carbon atom or an oxygen atom; R^6 represents hydrogen or a group bound via a carbon atom; R^7 represents a homocyclic group which may be substituted or a heterocyclic group which may be substituted; and each dotted line represents a single bond or a double bond.

23. A compound of claim 1, which is represented by the formula (e):

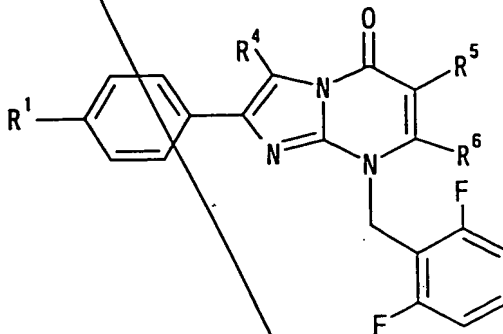


wherein each symbol is as defined in claim 1, or a salt thereof.

24. A compound of claim 23 or a salt thereof,

wherein R^4 is a group of the formula: $-(CH_2)_n-NR^{10}R^{11}$
 wherein n is an integer from 1 to 3; R^{10} is hydrogen, a
 C_{1-10} hydrocarbon group which may be substituted, a C_{1-20}
 acyl group which may be substituted, a hydroxy group
 5 which may be substituted, a heterocyclic group which may
 be substituted, or a group of the formula: $-S(O)_t-R^{12}$
 wherein t is an integer from 0 to 2, and R^{12} is hydrogen
 or a C_{1-10} hydrocarbon group which may be substituted;
 and R^{11} is hydrogen, a C_{1-10} hydrocarbon group or a C_{1-20}
 10 acyl group which may be substituted; or R^{10} and R^{11} form,
 taken together with the adjacent nitrogen atom, a cyclic
 amino group which may be substituted.

25. A compound of claim 1, which is represented by
 the formula:



15

wherein each symbol is as defined in claim 1, or a salt
 thereof.

26. A compound of claim 25 or a salt thereof,
 wherein R^1 is (1) an amino group which may be substituted
 20 by (i) carbamoyl which may be substituted by C_{1-6} alkyl
 or C_{1-6} alkoxy, or (ii) C_{1-6} alkyl-carbonyl, or (2) a C_{1-6}
 alkoxy group which may be substituted by C_{3-6}

cycloalkyl;

R^4 is a $N-C_{1-6}$ alkyl- N -benzylaminomethyl group

R⁵ is (1) a C₁₋₆ alkoxy-carbonyl group, (2) a C₆₋₁₀ aryl group which may be substituted by halogen or C₁₋₆ alkoxy, or (3) a phenyl-C₁₋₃ alkyl group; and
R⁶ is hydrogen.

- 5 27. A compound of claim 25 or a salt thereof,
wherein R¹ is (1) a nitro group,
(2) an amino group which may be substituted by 1
or 2 substituents selected from the group
consisting of (i) C₁₋₆ alkyl which may be
10 substituted by hydroxy, (ii) C₁₋₆ alkyl-carbonyl
which may be substituted by hydroxy, halogen or
thienyl, (iii) C₆₋₁₀ aryl-carbonyl which may be
substituted by C₁₋₆ alkyl, C₁₋₆ alkoxy or halogen,
(iv) C₃₋₆ cycloalkyl-carbonyl, (v) C₂₋₄ alkenyl-
15 carbonyl, (vi) C₁₋₆ alkoxy-carbonyl, (vii) C₁₋₆
alkylamino-carbonyl, (viii) C₁₋₆ alkoxyamino-
carbonyl, (ix) phenylaminocarbonyl, (x) an
isoxazolylcarbonyl, thienylcarbonyl,
thiazolylcarbonyl, pyrazolylcarbonyl or
20 furylcarbonyl group which may be substituted by 1
or 2 substituents selected from the group
consisting of C₁₋₆ alkyl, nitro and C₁₋₆ alkoxy,
(xi) pyridylcarbonyl, (xii) C₁₋₆ alkylsulfonyl,
(xiii) thienylsulfonyl and (xiv) phenylsulfonyl
25 which may be substituted by C₁₋₆ alkyl,

(3) a pyrrolyl group or
(4) a hydroxy group which may be substituted by
C₁₋₆ alkyl, C₃₋₆ cycloalkyl-C₁₋₃ alkyl or C₁₋₆
alkyl-carbonyl;
30 R⁴ is a C₁₋₆ alkyl group which may be substituted

2011062224001

by 1 or 2 substituents selected from the group consisting of (1) halogen, (2) hydroxy and (3) amino which may be substituted by 1 or 2 substituents selected from the group consisting of C₁₋₆ alkyl, phenyl-C₁₋₃ alkyl and di-C₁₋₆ alkylamino-C₁₋₃ alkyl;

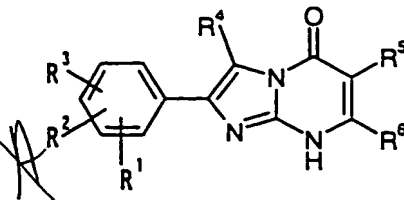
R⁵ is (1) halogen, (2) a phenyl group which may be substituted by halogen or C₁₋₆ alkyl, or (3) a carbonyl group substituted by (i) C₁₋₆ alkyl, (ii) amino substituted by C₁₋₆ alkyl and C₁₋₆ alkoxy or (iii) C₁₋₆ alkoxy; and R⁶ is hydrogen or a C₁₋₃ alkyl group.

28. 8-(2,6-Difluorobenzyl)-5,8-dihydro-2-[4-(ethylaminocarbonylamino)phenyl]-3-(N-methyl-N-benzylaminomethyl)-5-oxoimidazo[1,2-alpyrimidine-6-carboxylic acid ethyl ester, 8-(2,6-difluorobenzyl)-5,8-dihydro-2-[4-(methoxyaminocarbonylamino)phenyl]-3-(N-methyl-N-benzylaminomethyl)-5-oxoimidazo[1,2-alpyrimidine-6-carboxylic acid isopropyl ester, 8-(2,6-difluorobenzyl)-5,8-dihydro-2-[4-(ethylaminocarbonylamino)phenyl]-3-(N-methyl-N-benzylaminomethyl)-5-oxoimidazo[1,2-alpyrimidine-6-carboxylic acid isopropyl ester, or salts thereof.

29. A process for producing a compound of claim 23 or a salt thereof, which comprises reacting a compound of the formula (iv):

2011062224001

144



wherein each symbol is as defined in claim 23, or a salt thereof, with a compound of the formula: $X^2-(CH_2)_m-R^7$ wherein X^2 is a leaving group; and the other symbols are as defined in claim 23, or a salt thereof.

30. A pharmaceutical composition which comprises a compound of claim 1 or a salt thereof.

31. A composition of claim 30 which is a gonadotropin-releasing hormone antagonist.

32. A composition of claim 30 for preventing and/or treating a sex hormone dependent disease.

33. A composition of claim 30 for preventing and/or treating a sex hormone dependent cancer.

34. A composition of claim 30 for preventing and/or treating prostatic cancer, uterine cancer or breast cancer.

35. A composition of claim 30 for preventing and/or treating prostatic hypertrophy, endometriosis, hysteromyoma or precocious puberty.

36. A composition of claim 30 which is a pregnancy regulator.

37. A composition of claim 30 which is a menstruation cycle regulator.

38. A method for antagonizing gonadotropin-releasing hormone in a mammal in need thereof which comprises administering to said mammal an effective amount of a compound of claim 1 or a salt thereof with a

10042229-01102

Sub
A3

C' 25
cont

C'
cont

pharmaceutically acceptable excipient, carrier or diluent.

39. Use of a compound of claim 1 or a salt thereof
for manufacturing a pharmaceutical composition for
antagonizing gonadotropin-releasing hormone.

201710-6224001

add
A4